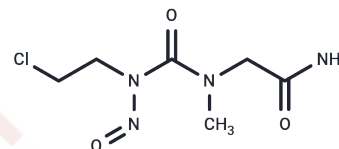


## Sarmustine

## Chemical Properties

CAS No. :	81965-43-7
Formula:	C <sub>6</sub> H <sub>11</sub> ClN <sub>4</sub> O <sub>3</sub>
Molecular Weight:	222.63
Storage:	Powder: -20°C for 3 years   In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



## Biological Description

Description	Sarmustine (SarCNU) is an alkylating agent with anticancer activity that inhibits the growth of prostate cancer cells via p53-dependent and p53-independent pathways. Sarmustine mediates the selection of P140K methylguanine-DNA-methyltransferase-transduced human CD34(+) cells in vitro.
Targets(IC50)	Apoptosis, DNA Alkylation, DNA Alkylator/Crosslinker, DNA Methyltransferase, p53
In vivo	Sarmustine (SarCNU) (s.c.) implanted SF-295 and U-251 central nervous system (CNS) tumor xenografts. When given i.v., q4d for 3 doses, to athymic mice bearing s.c. SF-295 tumors, SarCNU, at an optimum of 167 mg/kg/dose, produced 9 tumor-free animals of 10 total animals, 1 regression, and no evidence of overt toxicity (> or =20% body weight loss). Furthermore, SarCNU retained high antitumor activity at two lower dose levels, 66 and 45% of the optimal dose, whereas BCNU demonstrated a progressive loss of antitumor activity at lower doses. Following p.o. administration, SarCNU similarly demonstrated antitumor activity. In the U-251 CNS tumor model, SarCNU yielded six of six tumor-free animals at 80 mg/kg/dose with i.p. administration q.d. for 5 days, starting on day 14, whereas BCNU, at 9 mg/kg/dose, yielded three of six tumor-free mice and one drug-related death. Again, SarCNU resulted in tumor-free animals at 66 and 45% of its optimal dose and was relatively nontoxic. Results of testing to date indicate that SarCNU is clearly more effective than BCNU against the human CNS tumors SF-295 and U-251 in vivo. These results encourage the initiation of clinical trials for SarCNU, in an effort to improve therapeutic approaches to glioma.[3]

## Solubility Information

Solubility	DMSO: 50 mg/mL (224.59 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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### Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	4.4918 mL	22.4588 mL	44.9176 mL
5 mM	0.8984 mL	4.4918 mL	8.9835 mL
10 mM	0.4492 mL	2.2459 mL	4.4918 mL
50 mM	0.0898 mL	0.4492 mL	0.8984 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

- Skalski V, et al. Mechanisms of resistance to (2-chloroethyl)-3-sarcosinamide-1-nitrosourea (SarCNU) in sensitive and resistant human glioma cells. *Mol Pharmacol.* 1990;38(3):299-305.
- Noë AJ, et al. Transport of (2-chloroethyl)-3-sarcosinamide-1-nitrosourea in the human glioma cell line SK-MG-1 is mediated by an epinephrine-sensitive carrier system. *Mol Pharmacol.* 1993;44(1):204-209.
- Marcantonio D, et al. 2-Chloroethyl-3-sarcosinamide-1-nitrosourea, a novel chloroethylnitrosourea analogue with enhanced antitumor activity against human glioma xenografts. *Cancer Res.* 1997;57(18):3895-3898.
- Supko JG, et al. Plasma pharmacokinetics and bioavailability of 1-(2-chloroethyl)-3-sarcosinamide-1-nitrosourea after intravenous and oral administration to mice and dogs. *Cancer Chemother Pharmacol.* 2001;48(3):202-208.
- Noë AJ, et al. Altered cytotoxicity of (2-chloroethyl)-3-sarcosinamide-1-nitrosourea in human glioma cell lines SK-MG-1 and SKI-1 correlates with differential transport kinetics. *Cancer Res.* 1994;54(6):1491-1496.

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